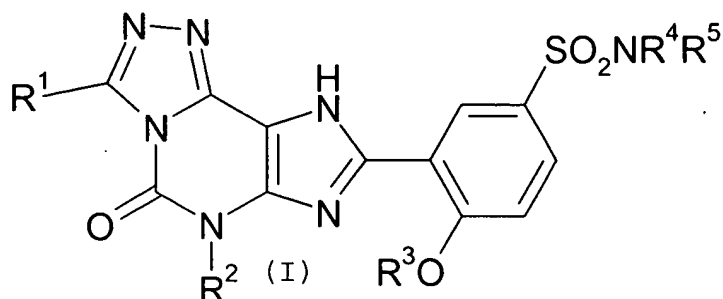


CLAIMS

1. A compound of formula (I):

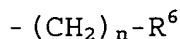
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15 wherein:

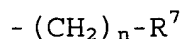
R^1 , R^2 and R^3 each independently represent: hydrogen; an alkyl group which is unsubstituted or substituted by a hydroxy, alkoxy, alkylthio, amino, mono- or di-alkylamino, hydroxycarbonyl, alkoxycarbonyl, acylamino, carbamoyl or
20 alkylcarbamoyl group; or a group of formula



wherein n is an integer from 0 to 4 and R^6 represents: a
25 cycloalkyl group; a phenyl group which may be unsubstituted or substituted by one or more halogen atoms or alkyl, hydroxy, alkylendioxy, alkoxy, amino, mono- or di-alkylamino, nitro, cyano or trifluoromethyl groups; or a 3 to 7-membered ring comprising from 1 to 4 heteroatoms
30 selected from nitrogen, oxygen and sulphur, which ring may be unsubstituted or substituted by one or more halogen atoms or hydroxy, phenyl, alkoxycarbonyl, amino, mono-alkylamino, di-alkylamino or hydroxycarbonyl groups or one or more alkyl groups which may in turn be unsubstituted or substituted by
35 one or more halogen atoms or hydroxy, alkoxy, hydroxyalkoxy, phenyl, alkoxycarbonyl, amino, mono- or di-alkylamino or hydroxycarbonyl groups;
either R^4 and R^5 together with the nitrogen atom to which they are attached form a 3 to 7-membered ring comprising a
40 total of from 1 to 4 heteroatoms selected from nitrogen,

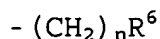
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oxygen and sulphur, which ring may be unsubstituted or substituted by one or more halogen atoms or hydroxy, oxoalkyl, carbamoyl, hydroxycarbonyl, alkoxycarbonyl, trifluoroacetyl, amino, mono- or di-alkylamino groups or an alkylene group, or one or more alkyl, alkenyl or alkynyl groups which may in turn be unsubstituted or substituted by one or more halogen atoms or hydroxy, alkoxy, hydroxyalkoxy, amino or mono- or di-alkylamino groups, or R^4 and R^5 independently represent hydrogen, an amidino group or an alkyl, alkenyl or alkynyl group which may be unsubstituted or substituted by one or more halogen atoms or hydroxy, alkoxy, alkylthio, amino, mono- or di-alkylamino groups, or R^4 represents hydrogen or an alkyl group and R^5 represents a group of formula



wherein n is an integer from 0 to 4 and R^7 represents: a cycloalkyl group which may be unsubstituted or substituted by one or more halogen atoms or alkyl, hydroxy, alkylenedioxy, alkoxy, amino, mono- or di-alkylamino, alkylamido, nitro, cyano or trifluoromethyl groups; a phenyl group which may be unsubstituted or substituted by one or more halogen atoms or alkyl, hydroxy, alkylenedioxy, alkoxy, amino, mono- or di-alkylamino, nitro, cyano or trifluoromethyl groups; or a 3 to 7-membered ring comprising from 1 to 4 heteroatoms selected from nitrogen, oxygen and sulphur, which ring may be unsubstituted or substituted by one or more halogen atoms or hydroxy, alkoxy, phenyl, alkoxycarbonyl, amino, mono-alkylamino, di-alkylamino or hydroxycarbonyl groups or one or more alkyl groups which may be unsubstituted or substituted by one or more halogen atoms or hydroxy, alkoxy, hydroxyalkoxy, phenyl, alkoxycarbonyl, amino, mono- or di-alkylamino or hydroxycarbonyl groups; or a pharmaceutically acceptable salt thereof.

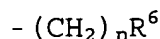
2. A compound according to claim 1 wherein R¹ represents hydrogen, a C₁-C₄ alkyl group or a group of formula



5

wherein n is 0, 1 or 2 and R⁶ represents phenyl, pyridyl or morpholinyl.

3. A compound according to claim 1 or claim 2 wherein R² and R³ independently represent a C₁-C₅ alkyl group, a C₃₋₁₀ cycloalkyl group, or a group of formula



15

wherein n is 0, 1 or 2 and R⁶ represents an unsubstituted or substituted phenyl or pyridyl group.

20

4. A compound according to any one of claims 1 to 3 wherein R¹ is a methyl, ethyl, propyl, pyridyl, pyridylmethyl, benzyl or N-morpholinylmethyl group; R² is an ethyl, propyl, n-butyl, i-butyl, n-pentyl, methoxyethyl, substituted or unsubstituted benzyl or 3-pyridylmethyl group; and R³ is an ethyl, propyl or n-butyl group.

25

5. A compound according to any one of claims 1 to 4 wherein the ring formed by R⁴, R⁵ and the nitrogen atom to which they are attached is a piperidyl, piperazinyl, [1,4]diazepan-1-yl, morpholinyl, pyrazolyl, azetidyl, diazabicyclo[2.2.1]hept-2-yl or hexahydro-pyrrolo[1,2-a]pyrazinyl group which is unsubstituted or substituted by one or more groups selected from a C₁-C₄ alkyl, C₂-C₄ alkenyl, carbamoyl, amino, di-C₁-C₄-alkylamino, (2-hydroxyethyl)methylamino, hydroxyl, 2,2,2-trifluoroethanoyl, 2,2,2-trifluoroethyl, formyl and hydroxyalkyl groups, alkoxyalkyl groups and hydroxyalkoxyalkyl groups wherein the alkyl moieties contain from 1 to 4 carbon atoms.

35

6. A compound according to claim 5 wherein R⁴ and R⁵ together with the nitrogen atom to which they are attached represent a 4-hydroxypiperidyl, 4-carbamoylpiperidyl, 3-carbamoylpiperidyl, piperazinyl, 4-methylpiperazinyl, 4-

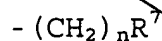
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ethylpiperazinyl, 4-formylpiperazinyl, [1,4]-diazepan-1-yl,
 4-methyl-[1,4]-diazepan-1-yl, 4-(2-
 hydroxyethyl)piperazinyl, 4-[2-(2-
 hydroxyethoxy)ethyl]piperazinyl, morpholinyl,
 5 aminopyrazolyl, diazabicyclo[2.2.1]hept-2-yl, 5-
 methyl diazabicyclo[2.2.1]hept-2-yl, 5-(2-hydroxyethyl)-
 diazabicyclo[2.2.1]hept-2-yl, 3(S)-methylpiperazinyl, 3(R)-
 methylpiperazinyl, (3R,5S)-3,5-dimethylpiperazinyl, (2R,5S)-
 2,5-dimethylpiperazinyl, (2S,5R)-2,5-dimethyl piperazinyl,
 10 3-dimethylaminoazetidiny, 3-dimethylaminomethylazetidiny,
 4-allylpiperazinyl, 4-propylpiperazinyl, hexahydropyrrolo[1,
 2-a]pyrazin-2-yl,
 (3R,5S)-3,4,5-trimethylpiperazinyl, 4-(2-methoxyethyl)-
 piperazinyl, 4-(2-hydroxyethyl)[1,4]diazepan-1-yl, 4-(2-
 15 hydroxy-1-methylethyl)piperazinyl, 4-(2-hydroxy-1,1-
 dimethylethyl)piperazinyl, 4-(2,2,2-trifluoroethyl)-
 piperazinyl, 4-(3-hydroxypropyl)piperazinyl, 4-(isopropyl)
 piperazinyl, 4-(2-ethoxyethyl)piperazinyl, 4-(2,2,2-
 trifluoroethanoyl)piperazinyl, 3-hydroxyazetidiny, 3-(2-
 20 hydroxyethyl)methylaminoazetidiny or 4-(2-hydroxyethyl)-
 piperidyl group.

7. A compound according to any one of claims 1 to 3
 wherein R⁴ and R⁵ independently represent hydrogen, a C₁-C₄
 alkyl group which is unsubstituted or substituted by a
 25 hydroxy or dimethyl amino group, a propynyl group or an
 amidino group.

8. A compound according to any one of claims 1 to 3
 wherein R⁴ is hydrogen or a C₁-C₄ alkyl group and R⁵
 represents a group of formula



wherein n is 0, 1, 2 or 3 and R⁷ is a pyridyl, piperidyl,
 piperazinyl, morpholinyl, triazolyl, tetrazolyl,
 35 pyrrolidinyl, 1-ethylaminocyclohex-1-yl, 1-
 diethylaminocyclohex-1-yl, 1-ethylaminocyclohept-1-yl, 1-
 diethylaminocyclohept-1-yl, 3,4-dimethoxyphenyl, 1-methyl-4-

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a³

phenylpiperidin-4-yl, imidazolyl, 1-methylpiperid-4-yl, tetrahydrofuranyl, 2,2,6,6,-tetramethylpiperid-4-yl, 4-hydroxypiperid-4-yl, 1-acetamidocyclohept-1-yl, 1-methyl-3-azetidiny l or 4-methylpiperazin-1-yl group.

5 9. A compound according to any one of claims 1 to 8 characterised in that it has an IC₅₀ value for the inhibition of PDE 5 of less than 30 nM.

10 10. A compound according to claim 1 which is
6-ethyl-8-[5-(4-methylpiperazine-1-sulphonyl)-2-propoxyphenyl]-6,9-dihydro-[1,2,4]triazolo[3,4-i]purin-5-one,
8-[2-butoxy-5-(4-methylpiperazine-1-sulfonyl)phenyl]-6-ethyl-6,9-dihydro-[1,2,4]triazolo[3,4-i]purin-5-one,
8-[5-(4-methylpiperazine-1-sulfonyl)-2-propoxyphenyl]-6-propyl-6,9-dihydro-[1,2,4]triazolo[3,4-i]purin-5-one,
15 8-{5-[4-(2-hydroxyethyl)piperazine-1-sulphonyl]-2-propoxyphenyl}-6-propyl-6,9-dihydro-[1,2,4]triazolo[3,4-i]purin-5-one,
8-[5-(4-methyl-[1,4]diazepane-1-sulfonyl)-2-propoxyphenyl]-6-propyl-6,9-dihydro-[1,2,4]triazolo[3,4-i]purin-5-one,
20 6-butyl-8-{5-[4-(2-hydroxyethyl)piperazine-1-sulfonyl]-2-propoxyphenyl}-6,9-dihydro-[1,2,4]triazolo[3,4-i]purin-5-one,
3-(5-oxo-6-propyl-6,9-dihydro-5H-[1,2,4]triazolo[3,4-i]purin-8-yl)-4-propoxy-N-pyridin-4-ylbenzenesulphonamide;
25 8-[5-((S)-3-Methylpiperazine-1-sulfonyl)-2-propoxyphenyl]-6-propyl-6,9-dihydro-[1,2,4]triazolo[3,4-i]purin-5-one,
8-[5-((1S,4S)-5-Methyl-2,5-diazabicyclo[2.2.1]heptane-2-sulfonyl)-2-propoxyphenyl]-6-propyl-6,9-dihydro-[1,2,4]
30 triazolo[3,4-i]purin-5-one,
8-[5-(3-Dimethylaminomethylazetidine-1-sulfonyl)-2-propoxyphenyl]-6-propyl-6,9-dihydro-[1,2,4]triazolo[3,4-i]purin-5-one,
8-[5-((3R,5S)-3,5-Dimethylpiperazine-1-sulfonyl)-2-propoxyphenyl]-6-propyl-6,9-dihydro-[1,2,4]triazolo[3,4-i]
35 purin-5-one,

N-(3-Dimethylamino-2,2-dimethylpropyl)-3-(oxopropyl-6,9-dihydro-5H-[1,2,4]triazolo[3,4-i]purin-8-yl)-4-propoxy benzenesulfonamide,

8-{5-[4-(2-Hydroxyethyl)-[1,4]diazepane-1-sulfonyl]-2-

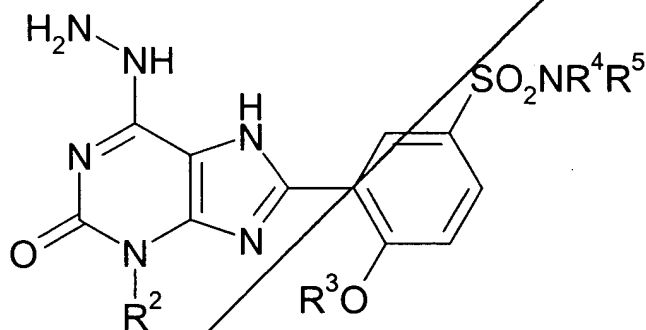
propoxyphenyl}-6-propyl-6,9-dihydro-[1,2,4]triazolo[3,4-i]purin-5-one,

8-{5-[4-(2-Hydroxy-1,1-dimethylethyl)piperazine-1-sulfonyl]-2-propoxyphenyl}-6-propyl-6,9-dihydro-[1,2,4]triazolo[3,4-i]purin-5-one,

6-Butyl-8-{5-[4-(2-hydroxy-1,1-dimethylethyl)piperazine-1-sulfonyl]-2-propoxyphenyl}-6,9-dihydro-[1,2,4]triazolo[3,4-i]purin-5-one

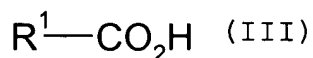
or a pharmaceutically acceptable salt thereof.

11. A process for preparing a compound as defined in any one of claims 1 to 10 which process comprises reacting a hydrazinopurine derivative of formula (II)



(II)

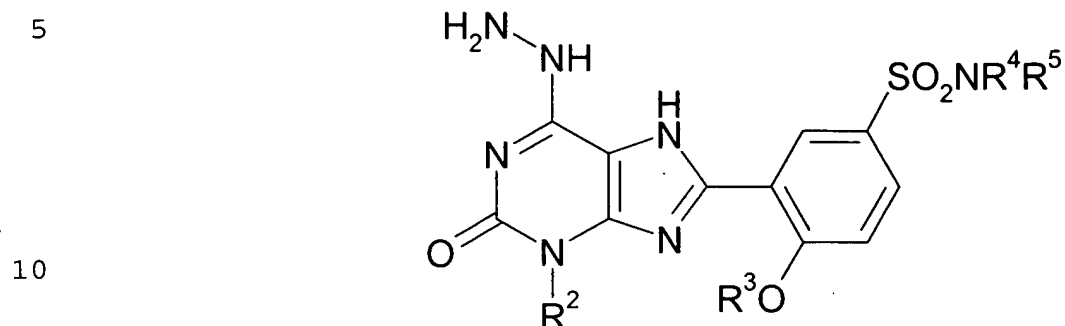
wherein R², R³, R⁴ and R⁵ are as defined in any one of claims 1 to 10, with a carboxylic acid of the general formula (III):



wherein R¹ is as defined in any one of claims 1 to 10, or a reactive derivative thereof optionally in the presence of a polar aprotic solvent.

12. A process according to claim 11 wherein said reaction is carried out in the presence of an organic base.

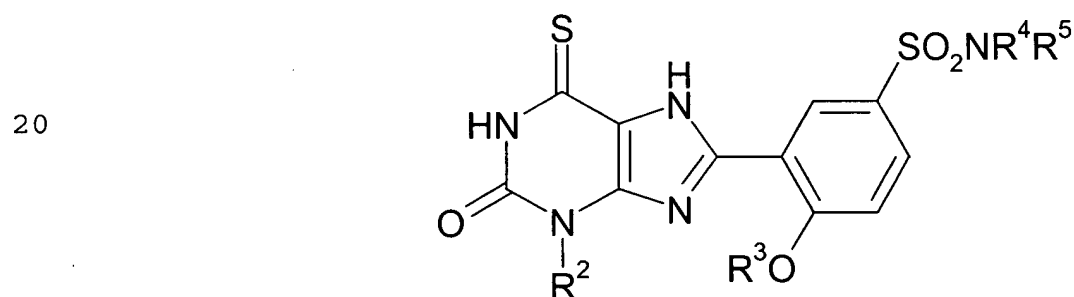
13. A compound of formula (II):



(II)

15 wherein R², R³, R⁴ and R⁵ are as defined in claim 1.

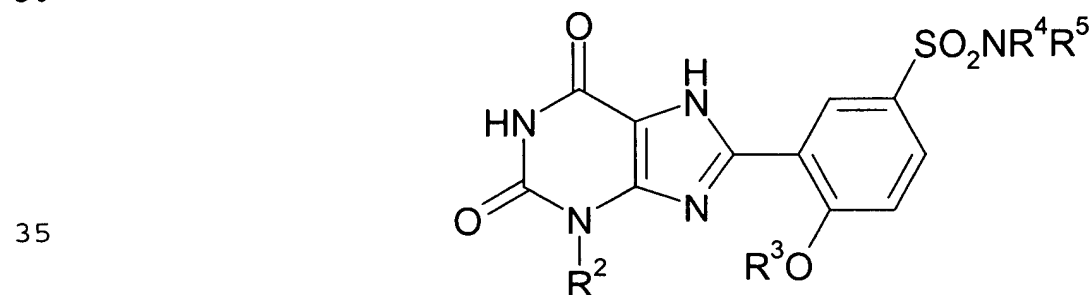
14. A compound of formula (IV):



(IV)

wherein R², R³, R⁴ and R⁵ are as defined in claim 1.

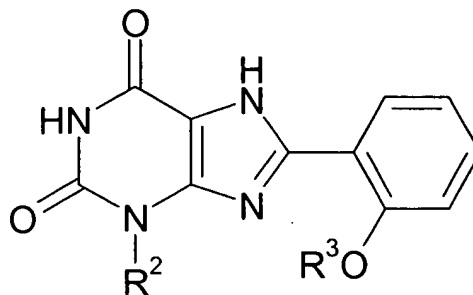
15. A compound of formula (V):



(V)

wherein R^2 , R^3 , R^4 and R^5 are as defined in claim 1.

16. A compound of formula (VI):



(VI)

wherein R^2 and R^3 are as defined in claim 1.

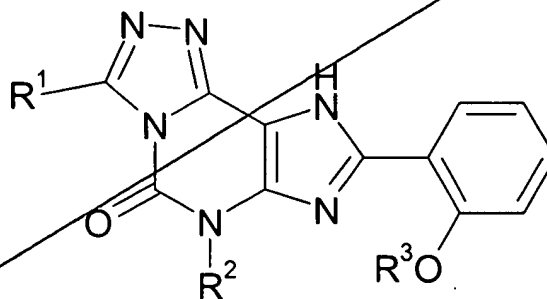
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17. ~~Use of a compound as defined in any one of claims 13 to 16 as an intermediate in the production of a compound as defined in claim 1.~~

15

18. A process for preparing a compound as defined in any one of claims 1 to 10 which process comprises reacting a phenylxanthine of formula (IX):

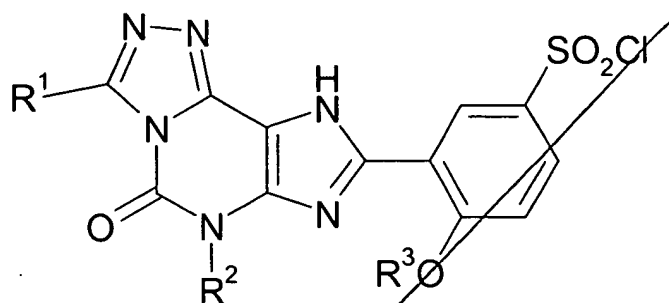
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(IX)

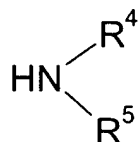
30 wherein R^1 , R^2 and R^3 are as defined in any one of claims 1 to 10, with chlorosulphonic acid so as to obtain the sulphonyl chloride of formula (X):

contd.
Q 5



(X)

wherein R^1 , R^2 and R^3 are as defined in any one of claims 1 to 10, and reacting the sulphonyl chloride of formula (X) with an amine of formula (VIII):



(VIII)

wherein R^4 and R^5 are as defined in any one of claims 1 to 10.

19. A process according to claim 13 wherein the reaction forming the sulphonyl chloride of formula (X) is carried using an excess of the chlorosulphonic acid or using the chlorosulphonic acid as a solvent, and the conversion of the sulphonyl chloride of formula (X) is carried out in a polar aprotic solvent and in the presence of an organic base.

20. A pharmaceutical composition comprising as an active ingredient, at least one compound as defined in any one of claims 1 to 10 or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable excipient.

21. A compound according to any one of claims 1 to 10 or a composition according to claim 20 for use in method of treatment of the human or animal body.

22. Use of a compound as defined in any one of claims 1 to 10 in the manufacture of a medicament for the treatment

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Q 6

of stable, unstable or variant angina, hypertension,
pulmonary hypertension, congestive heart failure, renal
failure, atherosclerosis, conditions of reduced blood vessel
potency, peripheral vascular disease, vascular disorders,
5 stroke, bronchitis, chronic asthma, allergic asthma,
allergic rhinitis, glaucoma, male erectile dysfunction,
female sexual dysfunction or diseases characterised by
~~disorders of gut motility.~~

23. A method of treating a human or animal patient
10 suffering from stable, unstable or variant angina,
hypertension, pulmonary hypertension, congestive heart
failure, renal failure, atherosclerosis, conditions of
reduced blood vessel potency, peripheral vascular disease,
vascular disorders, stroke, bronchitis, chronic asthma,
15 allergic asthma, allergic rhinitis, glaucoma, male erectile
dysfunction, female sexual dysfunction or diseases
characterised by disorders of gut motility, which method
comprises administering to said patient requiring such
treatment an effective amount of a compound as defined in
20 claim 1.